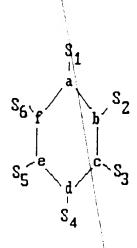
An inhibitor compound, having the structure 1 2 Group I - Group II 3 where Group I has the structure: 4 Η 5 6 7 8 R1-Ċ 9 10 Ř2 wherein each R, independently, is chosen from the 11 12 group consisting of the R groups of an amino acid including proline; each broken line, independently, represents a bond 13 to an H or a bond to one said R group, and each H' 14 represents said bond or a hydrogen; p is an integer between 15 16 0 and 4 inclusive; 17 or Group I has the structure: 18 19 20 21 22 where n is between 0 and 3 inclusive, 23 each G2 and G3 independently is H or C1 - 3 alkyl, 24 25 G1 is NH3, NH - q - NH2 ,or 26 27 NH2 28 29 NG4, where G4 is C - G530 31 where G5 and G6 can be NH, H, or C1 - 3 alkyl or 32 alkenyl with one or more carbons substituted with a 3 **3** nitrogen; provided that G1 bears a charge and G1 and Group 34 TT 40 not form a covalently bonded wind attractive at NU 7 0. 3 5



where one or two of said a, b, c, d, e, and f is N
and the rest are C, and each S1 - S6 independently is H or
C1 - C3 alkyl; where Group II has the structure:

40 41 42 43 44 45 46

47 T is a group of the formula:

48 D2 49 |

50 - B- D1, where B is boron and each D1 and D2, independently,

51 is a hydroxyl group or a group which is capable of being

52 hydrolysed to a hydroxyl group in aqueous solution at

53 physiological pH; a group of the formula:

where G is either H, F or an alkyl group containing 1 to 20 carbon atoms and optional heteroatoms which can be N, S, or 0; or a phosphonate group of the formula:

60 61 62 - E

62 - P - J 63 64 0 - J

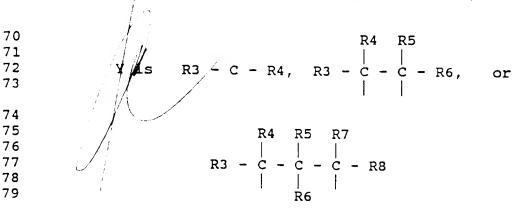
where each \$\psi\$, independently, is O-alkyl, N-alkyl, or alkyl,

each said q-alkyl, N-alkyl or alkyl comprising 1 - 20 carbon

atoms and, optionally, heteroatoms which can be N, S, or 0;

68 said T being able to form a complex with the catalytic site

69 of a dipeptidyl-aminopeptidase type IV (DP IV) enzyme;



80 and each R1, R2, R3, R4, R5, R6, R7, and R8, separately is a

81 group which does not significantly interfere with site

82 specific recognition of said inhibitory compound by said DP

83 IV, and allows said complex to be formed with said DP IV.

- 1 2. The compound of claim 1, wherein T is a boronate 2 group.
- 1 3. The compound of claim 1, wherein T is a
- 2 phosphonate group or a trifluoroalkyl ketone group.
- 1 4. The compound of claim 1 wherein each R1 R8 is

- 5. The compound of claim 1 or 2 wherein each R1 and R2 R2 are H, and each Y is CH<sub>2</sub> CH<sub>2</sub>.
- 1 6. The compound of claim 5 wherein each R is 2 independently chosen from the R group of proline and 3 alanine.
- 7. The compound of claim 1, wherein said compound has a binding or dissociation constant to said DP IV of at least 10<sup>-9</sup>M.
- 8. The compound of claim 1, wherein said compound has a binding constant to said DP IV of at least 10<sup>-8</sup>M.
- 9. The compound of claim 1 admixed within a pharmaceutically acceptable carrier substance.
- 1 10. The compound of claim 1 wherein, each D1 and D2 is, independently, F or D1 and D2 together are a ring containing 1 to about 20 carbon atoms, and optionally heteroatoms which can be N, S, or O.
- 1 11. A method for inhibiting DP IV in a mammal, 2 comprising administering to said mammal an effective amount 3 of a compound of claim 1.
- 1 12. The method of claim 11 wherein said amount is 1 2 500 mg/kg/day.

1 13. An inhibitor of DP-IV, having the structure:

$$\begin{bmatrix} A - N & \begin{matrix} H & O \\ & C - C \end{matrix} & \begin{matrix} H & O \\ & & \end{matrix} \\ CH_2 & CH_2 \end{matrix} & \begin{matrix} CH_2 & CH_2 \end{matrix} & \begin{matrix} CH_2 & CH_2 \end{matrix} & \begin{matrix} CH_2 & CH_2 \end{matrix}$$

- 7 wherein m is an integer between 0 and 10, inclusive; A and
- 8 A' are L-amino acid residues such that the A in each
- 9 repeating bracketed unit can be a different amino acid
- 10 residue; the C bonded to B is in the L-configuration; the
- 11 bonds between A and N, A and C, and between A and N are
- 12 peptide bonds; and each  $X^1$  and  $X^2$  is, independently, a
- 13 hydroxyl group or a group capable of being hydrolysed to a
- 14 hydroxyl group at physiological pH.
- 1 14. The inhibitor of claim 13 wherein A and A' are
- 2 independently proline or alanine residues.
- 1 15. The inhibitor of claim 13 wherein m is 0.
- 1 16. The inhibitor of claim 13 wherein  $X^{1}$  and  $X^{2}$  are
- 2 hydroxyl groups.

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- 1 17. The inhibitor of claim 13 wherein said
- 2 inhibitor is L-Ala-L-boroPro.
- 1 18. The inhibitor of claim 13 wherein said
- 2 inhibitor is L-Pro-L-boroPro.

- 1 19. A method for inhibiting DP-IV in a mammal, 2 comprising administering to said mammal an effective amount 3 of a compound of claim 14.
- 1 20. The method of claim 19 wherein said amount is 2 1 mg/kg of said mammal per day to 500 mg/kg of said mammal 3 per day.

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